=> d his

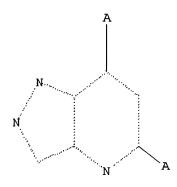
(FILE 'HOME' ENTERED AT 11:06:31 ON 16 NOV 2005)

FILE 'REGISTRY' ENTERED AT 11:06:41 ON 16 NOV 2005
L1 STRUCTURE UPLOADED
L2 14 S L1
L3 STRUCTURE UPLOADED

L4 9 S L3 L5 252 S L1 SSS FUL L6 138 S L3 SUB=L5 FUL

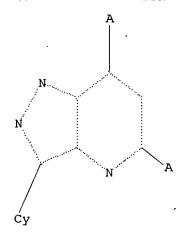
FILE 'CAPLUS' ENTERED AT 11:09:23 ON 16 NOV 2005 L7 14 S L6

=> d 11 L1 HAS NO ANSWERS L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> d 13 L3 HAS NO ANSWERS L3 STR



Structure attributes must be viewed using STN Express query preparation.

=> d ibib abs hitstr total

10/256,198

ANSWER 1 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2005:730050 CAPLUS

DOCUMENT NUMBER:

143:367274

TITLE:

Design and Synthesis of Tricyclic Corticotropin-

Releasing Factor-1 Antagonists

AUTHOR(S):

SOURCE:

Gross, Raymond S.; Guo, Zhiqiang; Dyck, Brian; Coon, Tim; Huang, Charles Q.; Lowe, Richard F.; Marinkovic,

Dragan; Moorjani, Manisha; Nelson, Jodene;

Zamani-Kord, Said; Grigoriadis, Dimitri E.; Hoare, Sam

R. J.; Crowe, Paul D.; Bu, Jane Han; Haddach,

Mustapha; McCarthy, James; Saunders, John; Sullivan,

Robert; Chen, TaKung; Williams, John P.

CORPORATE SOURCE:

Departments of Medicinal Chemistry, Pharmacology and Lead Discovery and Preclinical Development, Neurocrine

Biosciences, San Diego, CA, 92130, USA

ر (2005) Journal of Medicinal Chemistry

700\_5702

5780-5793

CODEN: JMCMAR; ISSN: 0022-2623

American Chemical Society

PUBLISHER:
DOCUMENT TYPE:
LANGUAGE:

Journal English

AB Antagonists of the corticotropin-releasing factor (CRF) neuropeptide should prove to be effective in treating stress and anxiety-related disorders. In an effort to identify antagonists with improved physicochem. properties, new tricyclic CRF1 antagonists were designed, synthesized, and tested for biol. activity. As a result of studies aimed at establishing a relationship between structure and CRF1 binding affinity, NBI 35965 [i.e., (7S)-6-(cyclopropylmethyl)-2-(2,4-dichlorophenyl)-7-ethyl-7,8-dihydro-4-methyl-6H-1,3,6,8a-tetraazaacenaphthylene (I)] was identified as a high-affinity antagonist with a pKi value of 8.5. I proved to be a functional CRF1 antagonist with pIC50 values of 7.1 and 6.9 in the in vitro CRF-stimulated cAMP accumulation and ACTH production assays, resp., and I also reduced CRF or stress induced ACTH production in vivo.

IT 268547-48-4P 268547-49-5P 866141-71-1P 866141-72-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 1,3,6,8a-tetraazaacenaphthylene derivs. and study of their activity as corticotropin-releasing factor-1 antagonists)

RN 268547-48-4 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-ol, 3-(2,4-dichlorophenyl)-5-methyl- (9CI) (CA INDEX NAME)

RN 268547-49-5 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridine, 7-chloro-3-(2,4-dichlorophenyl)-5-methyl-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & H & C1 \\ \hline & N & N & C1 \\ \hline & & C1 & \\ \end{array}$$

RN 866141-71-1 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 866141-72-2 CAPLUS CN INDEX NAME NOT YET ASSIGNED

## IT 242128-98-9

RL: PAC (Pharmacological activity); BIOL (Biological study) (preparation of 1,3,6,8a-tetraazaacenaphthylene derivs. and study of their activity as corticotropin-releasing factor-1 antagonists in comparison with antalarimin)

RN 242128-98-9 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, N-(cyclopropylmethyl)-3-(2,4-dichlorophenyl)-1,5-dimethyl-N-propyl- (9CI) (CA INDEX NAME)

31

REFERENCE COUNT:

THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
10/256,198
     ANSWER 2 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN
                         2003:689677 CAPLUS
ACCESSION NUMBER:
DOCUMENT NUMBER:
                         139:332356
TITLE:
                         Synthesis of 1-methyl-3-phenylpyrazolo[4,3-b]pyridines
                         via a methylation of 4-phthalimino-3-phenylpyrazoles
                         and optimization toward highly potent
                         corticotropin-releasing factor type-1 antagonists
                         Huang, Charles Q.; Wilcoxen, Keith; McCarthy, James
AUTHOR(S):
                         R.; Haddach, Mustaph; Grigoriadis, Dimitri; Chen, Chen
CORPORATE SOURCE:
                         Department of Medicinal Chemistry and Department of
                         Pharmacology, Neurocrine Biosciences, Inc. San Diego,
                         CA, 92121, USA
                         Bioorganic & Medicinal Chemistry Letters (2003),
SOURCE:
                         13(19), 3371-3374
                         CODEN: BMCLE8; ISSN: 0960-894X
                         Elsevier Science B.V.
PUBLISHER:
DOCUMENT TYPE:
                         Journal
LANGUAGE:
                         English/
                         CASREACT 139:332356
OTHER SOURCE(S):
     1-Methyl-3-phenylpyrazolo[4,3-b]pyridines were synthesized via a
     cyclization reaction of 1-methyl-4-amino-3-phenylpyrazoles with Et
     acetoacetate. Optimization of this series of compds. resulted in CRF1
     antagonists with subnanomolar binding affinity. Compds. bearing a polar
     group such as methoxy or hydroxy were also found to be very active.
IT
     242128-81-0P 242128-82-1P 242128-84-3P
     242128-86-5P 242128-89-8P 242128-98-9P
     242129-06-2P 242129-20-0P 617709-87-2P
     617709-90-7P 617709-95-2P 617709-97-4P
     617709-99-6P 617710-01-7P 617710-02-8P
     617710-03-9P 617710-04-0P 617710-05-1P
     617710-06-2P 617710-07-3P 617710-08-4P
     617710-09-5P 617710-10-8P 617710-11-9P
     617710-12-0P 617710-13-1P 617710-14-2P
     617710-15-3P 617710-16-4P 617710-17-5P
     617710-18-6P 617710-19-7P 617710-20-0P
     617710-21-1P 617710-22-2P 617710-23-3P
     617710-24-4P 617710-25-5P 617710-26-6P
     617710-27-7P 617710-28-8P 617710-29-9P
     617710-30-2P
    RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic
    preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); USES (Uses)
        (structure-activity relationship and preparation of phenylpyrazolo pyridines
```

(structure-activity relationship and preparation of phenylpyrazolo pyridin via a methylation of phthalimino phenylpyrazoles and optimization toward highly potent corticotropin-releasing factor type-1 antagonists)

RN 242128-81-0 CAPLUS

1H-Pyrazolo[4,3-b]pyridin-7-amine, N-butyl-3-(2,4-dichlorophenyl)-1,5-dimethyl- (9CI) (CA INDEX NAME)

CN

RN 242128-82-1 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, 3-(2,4-dichlorophenyl)-1,5-dimethyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

RN 242128-84-3 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, 3-(2,4-dichlorophenyl)-N-(2-methoxyethyl)-N,1,5-trimethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Me \\ MeO-CH_2-CH_2-N & Me \\ \hline \\ Me & N & \\ \hline \\ Me & \\ \end{array}$$

RN 242128-86-5 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, 3-(2,4-dichlorophenyl)-N,1,5-trimethyl-N-propyl- (9CI) (CA INDEX NAME)

RN 242128-89-8 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, N-butyl-3-(2,4-dichlorophenyl)-N-ethyl-1,5-dimethyl- (9CI) (CA INDEX NAME)

RN 242128-98-9 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, N-(cyclopropylmethyl)-3-(2,4-dichlorophenyl)-1,5-dimethyl-N-propyl- (9CI) (CA INDEX NAME)

RN 242129-06-2 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, N,N-dibutyl-3-(2-chloro-4-methylphenyl)-1,5-dimethyl- (9CI) (CA INDEX NAME)

RN 242129-20-0 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, N,N-dibutyl-3-(2-chloro-4,6-dimethylphenyl)-1,5-dimethyl- (9CI) (CA INDEX NAME)

RN 617709-87-2 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, 3-(2,4-dichlorophenyl)-N-ethyl-1,5-dimethyl-N-propyl- (9CI) (CA INDEX NAME)

RN 617709-90-7 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, N-(cyclopropylmethyl)-3-(2,4-dichlorophenyl)-N-ethyl-1,5-dimethyl- (9CI) (CA INDEX NAME)

RN 617709-95-2 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, N-butyl-N-(cyclopropylmethyl)-3-(2,4-dichlorophenyl)-1,5-dimethyl- (9CI) (CA INDEX NAME)

RN 617709-97-4 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, N-(cyclopropylmethyl)-3-(2,4-dichlorophenyl)-1,5-dimethyl-N-(2-methylpropyl)- (9CI) (CA INDEX NAME)

RN 617709-99-6 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, N-(cyclopropylmethyl)-3-(2,4-

dichlorophenyl)-1,5-dimethyl-N-pentyl- (9CI) (CA INDEX NAME)

Me- (CH<sub>2</sub>) 
$$_4$$
 - N Me N N C1

RN 617710-01-7 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, N-(cyclopropylmethyl)-3-(2,4-dichlorophenyl)-N-hexyl-1,5-dimethyl- (9CI) (CA INDEX NAME)

$$CH_2$$
 $Me - (CH_2) 5 - N$ 
 $N$ 
 $N$ 
 $N$ 
 $C1$ 
 $C1$ 

RN 617710-02-8 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, N,N-dibutyl-3-(2,4-dichlorophenyl)-1,5-dimethyl- (9CI) (CA INDEX NAME)

RN 617710-03-9 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, 3-(2,4-dichlorophenyl)-N-ethyl-1,5-dimethyl-N-pentyl- (9CI) (CA INDEX NAME)

RN 617710-04-0 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, 3-(2,4-dichlorophenyl)-1,5-dimethyl-N-pentyl-N-propyl- (9CI) (CA INDEX NAME)

RN 617710-05-1 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, N-butyl-3-(2,4-dichlorophenyl)-1,5-dimethyl-N-pentyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
 & \text{N-Bu} \\
 & \text{Me} - (\text{CH}_2)_4 - N & \text{Me} \\
 & \text{N} & \text{N} & \text{Cl}
\end{array}$$

RN 617710-06-2 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, N-butyl-3-(2,4-dichlorophenyl)-N-(1-ethylpropyl)-1,5-dimethyl- (9CI) (CA INDEX NAME)

RN 617710-07-3 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, N,N-dibutyl-3-(2-chloro-4-methoxyphenyl)-1,5-dimethyl- (9CI) (CA INDEX NAME)

RN 617710-08-4 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, N,N-dibutyl-1,5-dimethyl-3-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)

RN 617710-09-5 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, N,N-dibutyl-3-(4-chlorophenyl)-1,5-dimethyl- (9CI) (CA INDEX NAME)

RN 617710-10-8 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, 3-(2,4-dichlorophenyl)-N-ethyl-N-(2-methoxyethyl)-1,5-dimethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Et} & \text{Me} \\ \text{MeO-CH}_2\text{-CH}_2\text{-N} & \text{Me} \\ & & \text{N} & \text{N} \\ & & \text{N} & \text{Cl} \end{array}$$

RN 617710-11-9 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, 3-(2,4-dichlorophenyl)-N-ethyl-N-(2-methoxyethyl)-5-methyl-1-propyl- (9CI) (CA INDEX NAME)

RN 617710-12-0 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, 3-(2,4-dichlorophenyl)-N-(2-methoxyethyl)-5-methyl-N-(1-methylethyl)-1-propyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{i-Pr} \\ \text{MeO-CH}_2\text{-CH}_2\text{-N} & \text{n-Pr} \\ \hline \\ \text{Me} & \text{N} & \text{Cl} \end{array}$$

RN 617710-13-1 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, N-butyl-3-(2,4-dichlorophenyl)-N-(2-methoxyethyl)-5-methyl-1-propyl- (9CI) (CA INDEX NAME)

RN 617710-14-2 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, 3-(2,4-dichlorophenyl)-N-(2-methoxyethyl)-5-methyl-N-(2-methylpropyl)-1-propyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{CH}_2\text{-CH}_2\text{-OMe} \\ \text{i-Bu-N} & \text{n-Pr} \\ \text{N} & \text{N} \\ \text{Me} & \text{N} \end{array}$$

RN 617710-15-3 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, 3-(2,4-dichlorophenyl)-N-(2-methoxyethyl)-5-methyl-N-pentyl-1-propyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{CH}_2-\text{CH}_2-\text{OMe} \\ \text{Me}-\text{(CH}_2)_4-\text{N} \\ \text{N} \\ \text{N} \end{array}$$

RN 617710-16-4 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, 3-(2,4-dichlorophenyl)-N-hexyl-N-(2-methoxyethyl)-5-methyl-1-propyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{CH}_2-\text{CH}_2-\text{OMe} \\ \text{Me}-\text{(CH}_2)_5-\text{N} & \text{n-Pr} \\ \text{N} & \text{N} & \text{C1} \\ \end{array}$$

RN 617710-17-5 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, 3-(2,4-dichlorophenyl)-N,N-bis(2-methoxyethyl)-5-methyl-1-propyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{CH}_2\text{--}\text{CH}_2\text{--}\text{OMe} \\ \\ \text{MeO---}\text{CH}_2\text{---}\text{CH}_2\text{---}\text{N} \\ \\ \text{N} \\ \\ \text{N} \\ \end{array}$$

RN 617710-18-6 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, 3-(2,4-dichlorophenyl)-N-ethyl-N-(3-methoxypropyl)-1,5-dimethyl- (9CI) (CA INDEX NAME)

RN 617710-19-7 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, 3-(2,4-dichlorophenyl)-N-(3-methoxypropyl)-1,5-dimethyl-N-propyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{N-Pr} \\ \text{MeO-} (\text{CH}_2)_3 - N & \text{Me} \\ & & & \\$$

RN 617710-20-0 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, N-butyl-3-(2,4-dichlorophenyl)-N-(3-methoxypropyl)-1,5-dimethyl- (9CI) (CA INDEX NAME)

RN 617710-21-1 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, N-butyl-3-(2,4-dichlorophenyl)-5-methyl-N-[2-(methylthio)ethyl]-1-propyl- (9CI) (CA INDEX NAME)

RN 617710-22-2 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, N-butyl-3-(2,4-dichlorophenyl)-5-methyl-1-propyl-N-[(tetrahydro-2-furanyl)methyl]- (9CI) (CA INDEX NAME)

$$n-Bu-N$$
 $n-Pr$ 
 $N$ 
 $N$ 
 $N$ 
 $C1$ 

RN 617710-23-3 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, N-butyl-3-(2,4-dichlorophenyl)-5-methyl-N-[(1-methyl-2-pyrrolidinyl)methyl]-1-propyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 617710-24-4 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, N-butyl-3-(2,4-dichlorophenyl)-5-methyl-1-propyl-N-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)

RN 617710-25-5 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, N-butyl-3-(2,4-dichlorophenyl)-5-methyl-1-propyl-N-[2-(4-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)

RN 617710-26-6 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, N-butyl-3-(2,4-dichlorophenyl)-5-methyl-1-propyl-N-[2-(2-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)

RN 617710-27-7 CAPLUS

CN Phenol, 4-[[[3-(2,4-dichlorophenyl)-5-methyl-1-propyl-1H-pyrazolo[4,3-b]pyridin-7-yl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 617710-28-8 CAPLUS

CN Phenol, 4-[[[3-(2,4-dichlorophenyl)-5-methyl-1-propyl-1H-pyrazolo[4,3-b]pyridin-7-yl]propylamino]methyl]- (9CI) (CA INDEX NAME)

RN 617710-29-9 CAPLUS

CN Ethanol, 2-[[3-(2,4-dichlorophenyl)-5-methyl-1-propyl-1H-pyrazolo[4,3-b]pyridin-7-yl]ethylamino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Et} & & \\ \text{HO-CH}_2\text{-CH}_2\text{-N} & & \text{n-Pr} \\ & & & \\ \text{Me} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

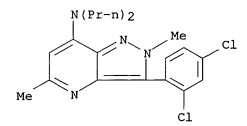
RN 617710-30-2 CAPLUS

CN 1-Pentanol, 2-[[3-(2,4-dichlorophenyl)-5-methyl-1-propyl-1H-pyrazolo[4,3- b]pyridin-7-yl]amino]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 3 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN ACCESSION NUMBER: 2003:689676 CAPLUS DOCUMENT NUMBER: 139:358013 TITLE: Synthesis of 3-phenylpyrazolo[4,3-b]pyridines via a convenient synthesis of 4-amino-3-arylpyrazoles and SAR of corticotropin-releasing factor receptor type-1 antagonists Wilcoxen, Keith; Huang, Charles Q.; McCarthy, James AUTHOR(S): R.; Grigoriadis, Dimitri E.; Chen, Chen Department of Medicinal Chemistry and Department of CORPORATE SOURCE: Pharmacology, Neurocrine Biosciences, Inc., San Diego, CA, 92121, USA (2003)Bioorganic & Medicinal Chemistry Letters SOURCE: 13<del>(19</del>), 3367-3370 CODEN: BMCLE8; ISSN: 0960-894X PUBLISHER: Elsevier Science B.V. DOCUMENT TYPE: Journal, LANGUAGE: Englisk CASREACT 139:358013 OTHER SOURCE(S): 3-Phenylpyrazolo[4,3-b]pyridines were synthesized via a cyclization of corresponding 4-amino-3-phenylpyrazoles with Et acetoacetate. These compds. were potent CRF1 antagonists. The 2-alkylpyrazolo[4,3-b]pyridines were more polar but less active than the corresponding 1-alkyl isomers. ΙT 242128-80-9P 242128-82-1P 242128-87-6P 242128-89-8P 242128-91-2P 242128-92-3P 242128-93-4P 242128-94-5P 242128-95-6P 242128-96-7P 242128-97-8P 242128-99-0P 242129-00-6P 242129-01-7P 242129-02-8P 242129-03-9P 242129-04-0P 242129-05-1P 242129-12-0P 242129-14-2P 242129-27-7P 242129-29-9P 617710-02-8P 622400-32-2P 622400-33-3P 622400-34-4P 622400-35-5P 622400-36-6P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (synthesis of 3-phenylpyrazolo[4,3-b]pyridines and SAR of



242128-80-9 CAPLUS

dipropyl- (9CI) (CA INDEX NAME)

RN 242128-82-1 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, 3-(2,4-dichlorophenyl)-1,5-dimethyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

corticotropin-releasing factor receptor type-1 antagonists)

2H-Pyrazolo[4,3-b]pyridin-7-amine, 3-(2,4-dichlorophenyl)-2,5-dimethyl-N,N-

RN

CN

RN 242128-87-6 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, N,N-dibutyl-3-(2,4-dichlorophenyl)-5-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
N & (Bu-n) & 2 \\
H & N \\
N & N \\
C1
\end{array}$$

RN 242128-89-8 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, N-butyl-3-(2,4-dichlorophenyl)-N-ethyl-1,5-dimethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Et} & \text{Me} \\ \text{n-Bu-N} & \text{Me} \\ \\ \text{Me} & \text{N} & \text{Cl} \\ \end{array}$$

RN 242128-91-2 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, N,N-dibutyl-3-(2,4-dichlorophenyl)-1-ethyl-5-methyl- (9CI) (CA INDEX NAME)

RN 242128-92-3 CAPLUS

CN 2H-Pyrazolo[4,3-b]pyridin-7-amine, N,N-dibutyl-3-(2,4-dichlorophenyl)-2-ethyl-5-methyl- (9CI) (CA INDEX NAME)

RN 242128-93-4 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, N,N-dibutyl-3-(2,4-dichlorophenyl)-5-methyl-1-propyl- (9CI) (CA INDEX NAME)

RN 242128-94-5 CAPLUS

CN 2H-Pyrazolo[4,3-b]pyridin-7-amine, N,N-dibutyl-3-(2,4-dichlorophenyl)-5-methyl-2-propyl- (9CI) (CA INDEX NAME)

## 10/256,198

RN 242128-95-6 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, N,N-dibutyl-3-(2,4-dichlorophenyl)-5-methyl-1-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 242128-96-7 CAPLUS

CN 2H-Pyrazolo[4,3-b]pyridin-7-amine, N,N-dibutyl-3-(2,4-dichlorophenyl)-5-methyl-2-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 242128-97-8 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, N-(cyclopropylmethyl)-3-(2,4-dichlorophenyl)-5-methyl-N-propyl- (9CI) (CA INDEX NAME)

RN 242128-99-0 CAPLUS

CN 2H-Pyrazolo[4,3-b]pyridin-7-amine, N-(cyclopropylmethyl)-3-(2,4-dichlorophenyl)-2,5-dimethyl-N-propyl- (9CI) (CA INDEX NAME)

RN 242129-00-6 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, N-(cyclopropylmethyl)-3-(2,4-dichlorophenyl)-1-ethyl-5-methyl-N-propyl- (9CI) (CA INDEX NAME)

RN 242129-01-7 CAPLUS

CN 2H-Pyrazolo[4,3-b]pyridin-7-amine, N-(cyclopropylmethyl)-3-(2,4-dichlorophenyl)-2-ethyl-5-methyl-N-propyl- (9CI) (CA INDEX NAME)

RN 242129-02-8 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, N-butyl-3-(2,4-dichlorophenyl)-N-ethyl-

5-methyl- (9CI) (CA INDEX NAME)

RN 242129-03-9 CAPLUS

CN 2H-Pyrazolo[4,3-b]pyridin-7-amine, N-butyl-3-(2,4-dichlorophenyl)-N-ethyl-2,5-dimethyl-(9CI) (CA INDEX NAME)

RN 242129-04-0 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, N-butyl-3-(2,4-dichlorophenyl)-N,1-diethyl-5-methyl- (9CI) (CA INDEX NAME)

RN 242129-05-1 CAPLUS

CN 2H-Pyrazolo[4,3-b]pyridin-7-amine, N-butyl-3-(2,4-dichlorophenyl)-N,2-diethyl-5-methyl- (9CI) (CA INDEX NAME)

RN 242129-12-0 CAPLUS

CN 2H-Pyrazolo[4,3-b]pyridin-7-amine, N,N-dibutyl-3-(2-chloro-4-methylphenyl)-2-ethyl-5-methyl- (9CI) (CA INDEX NAME)

RN 242129-14-2 CAPLUS

CN 2H-Pyrazolo[4,3-b]pyridin-7-amine, 3-(2-chloro-4-methylphenyl)-N-(cyclopropylmethyl)-2-ethyl-5-methyl-N-propyl- (9CI) (CA INDEX NAME)

RN 242129-27-7 CAPLUS

CN 2H-Pyrazolo[4,3-b]pyridin-7-amine, 2,5-dimethyl-3-phenyl-N,N-dipropyl-(9CI) (CA INDEX NAME)

RN 242129-29-9 CAPLUS

CN 2H-Pyrazolo[4,3-b]pyridin-7-amine, N,N-dibutyl-2,5-dimethyl-3-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)

RN 617710-02-8 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, N,N-dibutyl-3-(2,4-dichlorophenyl)-1,5-dimethyl- (9CI) (CA INDEX NAME)

RN 622400-32-2 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, N-(cyclopropylmethyl)-3-(2,4-dichlorophenyl)-N,5-dimethyl- (9CI) (CA INDEX NAME)

RN 622400-33-3 CAPLUS
CN 2H-Pyrazolo[4,3-b]pyridin-7-amine, N,N-dibutyl-3-(2,4-dichlorophenyl)-5-methyl- (9CI) (CA INDEX NAME)

RN 622400-34-4 CAPLUS
CN 2H-Pyrazolo[4,3-b]pyridin-7-amine, N-butyl-3-(2,4-dichlorophenyl)-N-[2-(4-methoxyphenyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 622400-35-5 CAPLUS

CN 2H-Pyrazolo[4,3-b]pyridin-7-amine, 3-(4-chlorophenyl)-2,5-dimethyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

RN 622400-36-6 CAPLUS

CN 2H-Pyrazolo[4,3-b]pyridin-7-amine, N,N-dibutyl-3-(2-chloro-4-methoxyphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 4 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:196945 CAPLUS

DOCUMENT NUMBER: 138:221599

Synthesis of tricyclic fused compounds (e.g., fused TITLE: tricyclic pyrimidines) as CRF receptor antagonists

INVENTOR(S): Haddach, Mustapha; Dyck, Brian P.; Huang, Charles Q.;

Nelson, Jodie; Guo, Zhiqiang; McCarthy, James R.

PATENT ASSIGNEE(S): Neurocrine Biosciences, Inc., USA

U.S., 85 pp., Cont.-in-part of U.S. Ser. No. 439,840. SOURCE:

CODEN: USXXAM

DOCUMENT TYPE: Patent

English LANGUAGE: FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.			ID DATE	2	APPI	CICATI	ON NO.	I	ATE	
					US 2000-574751 US 1999-439840					
WO 2001087885			A1 20011122		WO 2001-US16048			20010517		
W:	AE, AG,	AL, AM,	AT, AU,	ΑZ,	BA, BB,	BG,	BR, BY,	BZ, CA,	CH, CN,	
	CO, CR,	CU, CZ,	DE, DK,	DM,	DZ, EC,	EE,	ES, FI,	GB, GD,	GE, GH,	
	GM, HR,	HU, ID,	IL, IN,	IS,	JP, KE,	KG,	KP, KR,	KZ, LC,	LK, LR,	
	LS, LT,	LU, LV,	MA, MD,	MG,	MK, MN,	MW,	MX, MZ,	NO, NZ,	PL, PT,	
	RO, RU,	SD, SE,	SG, SI,	SK,	SL, TJ,	TM,	TR, TT,	TZ, UA,	UG, US,	
	UZ, VN,	YU, ZA,	ZW, AM,	AZ,	BY, KG,	KZ,	MD, RU,	TJ, TM		
RW:	GH, GM,	KE, LS,	MW, MZ,	SD,	SL, SZ,	TZ,	UG, ZW,	AT, BE,	CH, CY,	
						•			TR, BF,	
			CM, GA,							
ZA 2001004441										
us 2004087589										
US 2004157851			2004							
PRIORITY APPLN. INFO.:				US 1998-191073 US 1999-370837			<b>-</b>			
									.9990809	
							01364		.9990921	
							39840		.9991112	
							574751 339780		20000518	
OTHER SOURCE(	S):	MAF	RPAT 138:	2215		.003-3	33700	. DI 2	.0030108	

GI

III

Title compds. I [n = 1-2; A, Z = N, C, CH; B = N, CR3, with the proviso that at least one of A, B and Z = N; A, B and Z are not all nitrogen; and either A-B or B-Z is a double bond; X = N, C(H, alkyl, halo); Ar = (substituted) aryl, (substituted) heteroaryl; R = alkyl, alkylidenyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl; m = 0-3; R1 = alkyl, sulfonyl; R2 = H, (halo)alkyl, CN; R3 = H, (halo)alkyl] were prepared For instance, 2,4-dichloro-6-methyl-3-(vinylcarbonyl)pyridine (preparation given) was reacted with 4-heptylamine (EtOH, 60°C, 16 h) afforded regioisomer II isolated by chromatog. II was condensed with the 2,4-dichlorophenylhydrazone of benzaldehyde (TsOH, 140°C, 5 min) to give the tricyclic fused pyrazole III. Certain examples I had Ki < 1 μM for the CRF receptor. I have utility in the treatment of disorders manifesting hypersecretion of CRF, such as stroke.

IT 268547-48-4P 268547-49-5P 268547-50-8P

268547-48-4P 268547-49-5P 268547-50-8P 268547-55-3P 268547-68-8P 374800-50-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; synthesis of tricyclic fused compds. (e.g., fused tricyclic pyrimidines) as CRF receptor antagonists)

RN 268547-48-4 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-ol, 3-(2,4-dichlorophenyl)-5-methyl- (9CI) (CA INDEX NAME)

RN 268547-49-5 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridine, 7-chloro-3-(2,4-dichlorophenyl)-5-methyl-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & H & C1 \\ \hline Me & N & C1 \\ \hline \end{array}$$

RN 268547-50-8 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, 3-(2,4-dichlorophenyl)-5-methyl-N-(1-propylbutyl)- (9CI) (CA INDEX NAME)

RN 268547-55-3 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, N-(1-butylpentyl)-3-(2,4-dichlorophenyl)-5-methyl- (9CI) (CA INDEX NAME)

RN 268547-68-8 CAPLUS

CN 1-Pentanol, 2-[[3-(2,4-dichlorophenyl)-5-methyl-1H-pyrazolo[4,3-b]pyridin-

(CA INDEX NAME) 7-yl]amino]- (9CI)

RN 374800-50-7 CAPLUS CN

24

Benzenemethanol,  $\alpha$ -[[[3-(2,4-dichlorophenyl)-5-methyl-1H-pyrazolo[4,3-b]pyridin-7-yl]amino]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Ph \\ HO-CH-CH_2-NH \\ \hline \\ Me \\ N \\ \end{array}$$

REFERENCE COUNT:

THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT L7 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:92404 CAPLUS

DOCUMENT NUMBER: 138:137328

TITLE: Preparation of tricyclic compounds as CRF receptor

antagonists

INVENTOR(S): Haddach, Mustapha; Dyck, Brian P.; Huang, Charles Q.;

Nelson, Jodie; Guo, Zhiqiang; McCarthy, James R.

PATENT ASSIGNEE(S): Neurocrine Biosciences, Inc., USA

SOURCE: U.S., 78 pp., Cont.-in-part of U.S. Ser. No. 401,364,

abandoned.
CODEN: USXXAM

CODEN: USXXA

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6514982	В1	20030204	US 1999-439840 .	19991112
ES 2180338	ТЗ	20030201	ES 1999-960363	19991112
PT 1129091	T	20030228	PT 1999-960363	19991112
US 6531475	B1	20030311	US 2000-574751	20000518
ZA 2001004441	Α	20020530	ZA 2001-4441	20010530
US 2004087589	A1	20040506	US 2003-339780	20030108
US 2004157851	<b>A</b> 1	20040812	US 2003-701394	20031104
PRIORITY APPLN. INFO.:			US 1998-191073	B2 19981112
			US 1999-370837	B2 19990809
			US 1999-401364	B2 19990921
			US 1999-439840	A2 19991112
			US 2000-574751	A1 20000518
			US 2003-339780	B1 20030108

OTHER SOURCE(S):

MARPAT 138:137328

GΙ

AB The title compds. [I; n = 1-2; A, C = N, C, CH; B = N, CR3; with the provisos that at least one of A, B and C = N; A, B and C are not all N; and either A-B or B-C is a double bond; X = N, CH; A = (un) substituted aryl, heteroaryl; A = un alkyl, alkylidenyl, arylalkyl, heteroarylalkyl; a = un

0-3; R1 = C(H)0,1R4R5, SO2R5; R2 = H, alkyl; R3 = H, alkyl, haloalkyl; R4 = H, alkyl, halo, etc.; R5 = -YZR6; (un)substituted alkanediyl, a direct bond; Z = NH, O, S, etc.; R6 = H, alkyl, aryl, etc.] which have utility in the treatment of a variety of disorders, including the treatment of disorders manifesting hypersecretion of CRF in a warm-blooded animals, such as stroke, depression, and anxiety, were prepared E.g., a multi-step synthesis of II which showed Ki of < 250 nM against CRF receptor binding, was given.

IT 268547-48-4P, 1H-Pyrazolo[4,3-b]pyridin-7-ol, 3-(2,4-dichlorophenyl)-5-methyl- 268547-49-5P, 1H-Pyrazolo[4,3-b]pyridine, 7-chloro-3-(2,4-dichlorophenyl)-5-methyl- 268547-50-8P, 1H-Pyrazolo[4,3-b]pyridin-7-amine, 3-(2,4-dichlorophenyl)-5-methyl-N-(1-propylbutyl)- 268547-55-3P, 1H-Pyrazolo[4,3-b]pyridin-7-amine, N-(1-butylpentyl)-3-(2,4-dichlorophenyl)-5-methyl- 268547-68-8P, 1-Pentanol, 2-[[3-(2,4-dichlorophenyl)-5-methyl-1H-pyrazolo[4,3-b]pyridin-7-yl]amino]
Definition of the start of the

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of tricyclic compds. as CRF receptor antagonists) 268547-48-4 CAPLUS

RN 268547-48-4 CAPLUS
CN 1H-Pyrazolo[4,3-b]pyridin-7-ol, 3-(2,4-dichlorophenyl)-5-methyl- (9CI)
(CA INDEX NAME)

RN 268547-49-5 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridine, 7-chloro-3-(2,4-dichlorophenyl)-5-methyl-(9CI) (CA INDEX NAME)

RN 268547-50-8 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, 3-(2,4-dichlorophenyl)-5-methyl-N-(1-propylbutyl)- (9CI) (CA INDEX NAME)

RN 268547-55-3 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, N-(1-butylpentyl)-3-(2,4-dichlorophenyl)-5-methyl- (9CI) (CA INDEX NAME)

RN 268547-68-8 CAPLUS

CN 1-Pentanol, 2-[[3-(2,4-dichlorophenyl)-5-methyl-1H-pyrazolo[4,3-b]pyridin-7-yl]amino]- (9CI) (CA INDEX NAME)

35

REFERENCE COUNT:

THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:637678 CAPLUS

DOCUMENT NUMBER: 137:169551

TITLE: Tricyclic CRF receptor antagonists

INVENTOR(S):
Haddach, Mustapha

PATENT ASSIGNEE(S): Neurocrine Biosciences, Inc., USA

SOURCE: PCT Int. Appl., 37 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

P	ATENT	KIND DATE				APPL	ICAT	ION 1	NO.		D.	ATE					
W	10 200	- <b></b> - 20645	92		A1		2002	0822		WO 2	001-	us49	906		2	0011	221
	W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
		co,	CR,	CU,	CZ,	DE,	DK,	DM,	DŻ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
		GM,	HR,	HU,	ID,	ΙĿ,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	ΝZ,	PH,	PL,
		PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG,
		US,	UZ,	VN,	YU,	ZA,	ZW,	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM	
	RW	: GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,
		DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	ΝL,	PT,	SE,	TR,	BF,
			-		-	-	GΑ,										
U	S 200	21282	65		A1		2002	0912		US 2	001-	3675	2		2	0011	221
U	rs 658	3143			В2		2003	0624									
E	P 134	5938			A1 20030924					EP 2	001-	2710	65		2	0011	221
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
		IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR						
J	P 200	45187	31		Т2		2004	0624		JP 2	002-	5645	23		2	0011	221
U	S 200	31302	83		A1		2003	0710		US 2	002-	3130	96		2	0021	204
PRIORI	TY AP	.:						US 2	000-	2586	85P		P 2	0001	228		
								US 2	001-	3675:	2	1	A1 2	0011	221		
								WO 2	001-	JS49	906	1	W 2	0011	221		
OTHER	THER SOURCE(S):					PAT	137:	1695	51								
GI															•		

$$R^{5}$$
  $N$   $R^{6}$   $Y$   $R^{2}$   $N$   $N$   $Y$   $R^{1}$   $I$ 

Tricyclic triazines I [X = N, CR3; Y = N, CR4; Rl = (un)substituted alkyl, NH2, aryl, heteroaryl; R2 = H, alkyl, alkoxy, thioalkyl, haloalkyl; R3 = H, alkyl, halo, haloalkyl; R4 = H, halogen, alkyl, alkoxy, thioalkyl, haloalkyl, amino; R5 = H, (un)substituted alkyl, aryl, heteroaryl; R6 = H, (un)substituted alkyl, NH2, OH, SH, aryl, heteroaryl] were prepared for use as CRF receptor antagonists in the treatment of diseases, such as stroke (no data). Thus, I [R1 = Br, R2, R6 = Me, R5 = H, X = CH, Y = N] was

treated with BrCHPr2 and 2,4-Me(MeO)C6H3B(OR)2 [R2 = CMe2CMe2] to give I [R1 = 2,4-Me(MeO)C6H3, R2, R6 = Me, R5 = CHPr2, X = CH, Y = N].

IT 268547-48-4P 268547-49-5P 448964-61-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyridopyrazolotriazines as CRF receptor antagonists)

RN 268547-48-4 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-ol, 3-(2,4-dichlorophenyl)-5-methyl- (9CI) (CA INDEX NAME)

RN 268547-49-5 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridine, 7-chloro-3-(2,4-dichlorophenyl)-5-methyl-(9CI) (CA INDEX NAME)

RN 448964-61-2 CAPLUS

CN· 1H-Pyrazolo[4,3-b]pyridine, 3-(2,4-dichlorophenyl)-7-hydrazino-5-methyl-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} H_2N-NH \\ \hline \\ Me \end{array} \begin{array}{c} H \\ \hline \\ N \\ \hline \\ C1 \end{array}$$

REFERENCE COUNT:

2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/256,198

ANSWER 7 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2002:184863 CAPLUS

DOCUMENT NUMBER:

136:221516

TITLE:

Hair growth stimulants containing CRF1 receptor

antagonists

INVENTOR(S):

Ikeda, Akiko; Okuyama, Shigeru; Shibasaki, Tamotsu;

Kawana, Seiji; Kaneko, Katsumi

PATENT ASSIGNEE(S):

Taisho Pharmaceutical Co., Ltd., Japan

SOURCE:

PCT Int. Appl., 39 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATE	NT N	10.			KIND DATE				1 .	APPL	ICAT	ION I	.00		D	ATE	
WO 2	0020	199	75		A1	$\overline{}$	2002	0314	·/	WO 2	001-	JP75	 37		2	0010	831
	W:	ΑE,	AG,	AL,	AM,	AT>	AU,	AZ	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
	GM, HR, HU,				ID,	IL,	IN,	IS,	JP,	KE,	KG,	KR,	ΚZ,	LC,	LK,	LR,	LS,
	LT, LU, LV					MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	PH,	PL,	PT,
	RO, RU, SD,					SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,
		UZ,	VN,	YU,	ZA,	ZW,	AM,	ΑZ,	BY,	KG,	KZ,	MD,	RU,	ТJ,	TM		
	RW:	GH,	GM,	ΚE,	LS,	MW,	·MZ,	SD,	SL,	SZ,	ΤZ,	UG,	ZW,	ΑT,	BE,	CH,	CY,
		DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,
		ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG	
AU 2		A5 20020322					AU 2	001-	8441	7		2	0010	831			
PRIORITY APPLN. INFO.:											000-	2692	91	i	A 2	0000	905
						WO 2	001-	JP75	37	1	W 2	0010	831				

## OTHER SOURCE(S):

MARPAT 136:221516

Disclosed are hair growth stimulants containing a corticotropin release factor (CRF) 1 receptor antagonist as the active ingredient. A CRF1 receptor antagonist 2-[N-(2-methylthio-4-isopropylphenyl)-N-ethylamino]-4-[4-(3fluorophenyl)-1,2,3,6-tetrahydropyridine-1-yl]-6-methylpyrimidine showed keratinocyte cell proliferation promoting effect in cultured human epidermal keratinocyte cells.

IT 242128-80-9

> RL: COS (Cosmetic use); BIOL (Biological study); USES (Uses) (hair growth stimulants containing CRF1 receptor antagonists)

RN 242128-80-9 CAPLUS

2H-Pyrazolo[4,3-b]pyridin-7-amine, 3-(2,4-dichlorophenyl)-2,5-dimethyl-N,N-CN dipropyl- (9CI) (CA INDEX NAME)

12

REFERENCE COUNT:

THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 8 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:31438 CAPLUS

DOCUMENT NUMBER: 136:102370

TITLE: Preparation of tetrahydropyridine or piperidine

heterocyclic derivatives and their affinity for CRF

receptors

Nakazato, Atsuro; Kumagai, Toshihito; Okubo, INVENTOR(S):

Taketoshi; Kameo, Kazuya

Taisho Pharmaceutical Co., Ltd., Japan PATENT ASSIGNEE(S):

PCT Int. Appl., 91 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent

English LANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PA'	PATENT NO.						KIND DATE				LICAT					ATE	
WO	2002	0025	49								2001-					0010	704
	W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BE	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
											ES,						
											KR,						
											, MZ,						
		-	-					-			, TT,	-	-				
											, RU,				•	,	•
	RW:										, TZ,			AT,	BE,	CH,	CY,
		DE,	DK,	ES,	FI,	FR,	GB,	GR,	IE,	II	LU,	MC,	NL,	PT,	SE,	TR,	BF,
				-	-		-				, MR,	-	-				
CA	2412	287			ΑĀ		2002	0110		CA	2001-	2412	287		2	0010	704
AU	2001	0694	37		<b>A</b> 5		2002	0114		AU	2001-	6943	7		2	0010	704
ΕP	1299	378		<b>A</b> 1		2003	0409		ΕP	2001-	9478	19		2	0010	704	
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GF	R, IT,	LI,	LU,	NL,	SE,	MC,	PT,
											, TR						
	BR 2001012166																
JP	2004	5026	85		Т2		2004	0129		JΡ	2002-	5078	01		2	0010	704
TW	5910	22			B 20040611 TW 2001-9011639 A 20040816 EE 2003-7 A 20041013 CN 2004-1003387								6391		2	0010	704
EE	2003	0000	7		Α	2004	0816		EΕ	2003-	7			2	0010	704	
CN	1535	968			A		2004	1013		CN	2004-	1003	3876		2	0010	704
ZA	2002	0100	41		Α		2003	1211		ZA	2002-	1004	1		2	0021	211
	1073				Α		2004	0930		ВG	2002-	1073	74		2	0021	211
NO	2002	0061	25		Α		2003	0204		NO	2002-	6125			2	0021	219
US	2004	0340	61		<b>A</b> 1		2004	0219		US	2003-	3112	77		2	0030	825
US	6852	732			В2		2005	0208									
US	US 2004034061 US 6852732 US 2005009874				A1		2005	0113		US	2004-	9121	85		2	0040	806
RIORIT	ORITY APPLN. INFO.:									JΡ	2000-	2040	21		A 2	0000	705
					•					JΡ	2000-	2705	35		A 2	0000	906
						٠					2000-		~ ~			0000	
										WO	2001-	JP58	06	1	W 2	0010	704
										US	2003-	3112	77		A3 2	0030	825
OTHER SO	DURCE	(S):			MAR	PAT	136:	1023	70								

OTHER SOURCE(S): MARPAT 136:102370

Tetrahydropyridine or piperidine heterocyclic derivs. with high affinity for CRF receptors were prepared E.g., 5-(4-carbamoyl-1,2,3,6tetrahydropyridin-1-yl)-2-(N-ethyl-2,4-dichloroanilino)-4-methylthiazole was prepared by bromination of 2-(N-ethyl-2,4-dichloroanilino)-4methylthiazole hydrochloride, followed by reaction with 5-carbamoyl-1,2,3,6-tetrahydropyridine hydrochloride.

IT 388122-98-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

CN

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tetrahydropyridine or piperidine heterocyclic derivs. and their affinity for CRF receptors)

RN 388122-98-3 CAPLUS

4-Pyridinecarboxamide, 1-[3-(2,4-dichlorophenyl)-2,5-dimethyl-2H-pyrazolo[4,3-b]pyridin-7-yl]-1,2,3,6-tetrahydro-(9CI) (CA INDEX NAME)

REFERENCE COUNT:

11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:851167 CAPLUS

DOCUMENT NUMBER: 135:371753

TITLE: Preparation of tricyclic heteroaromatics as CRF

receptor antagonists

INVENTOR(S): Haddach, Mustapha; Williams, John P.; Marinkovic,

Dragan; Bu, Jane H.

PATENT ASSIGNEE(S): Neurocrine Biosciences, Inc., USA

SOURCE: PCT Int. Appl., 54 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE: FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA	PATENT NO.						DATE				ICAT				D	ATE	
WC	2001	0878	92		A1		2001	1122							2	0010	518
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
		co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	PL,	PT,
·		RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	ŪΑ,	ŬĠ,	US,
		UZ,	VN,	YU,	ZA,	ZW,	AM,	AZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM		
	RW:	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	ŪG,	ZW,	AT,	BE,	CH,	CY,
		DE,	DK,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,
		ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG		
US	US 2002049203						2002	0425		US 2	001-	8611	95		2	0010	518
US	6440	960			В2		2002	0827									
EP	1287	002			A1		2003	0305		EP 2	001-	9375	69	,	2	0010	518
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	ŃL,	SE,	MC,	PT,
•		ΙE,	SI,	LT,	LV,	FΙ,	RO,	MK,	CY,	AL,	TR						
	2003															0010	518
US	2003	0550	50		A1		2003	0320		US 2	002-	1230	76		2	0020	411
PRIORIT	Y APP	LN.	INFO	.:						US 2	000-	2056	07P	;	P 2	0000	518
										US 2	000-	2056	11P		P 2	0000	518
										US 2	000-	2056	14P		P 2	0000	518
										US 2	001-	8611	95	1	A1 2	0010	518
												US16	202	1	W 2	0010	518
OTHER S	THER SOURCE(S):						135:	37175	53								

- AB Title compds. [I; A = N or CH; B = N or CR4; R = H or 1-3 of alkyl, alkoxy(carbonyl), aryl, etc.; R2 = H, (halo)alkyl, alkoxy, etc.; X = N or CR3; R3 = H, halo, (halo)alkyl; R4 = H, halo, alkyl, alkoxy, etc.; Y = O or SOO-2; Z = NR1 or CHR1; R1 = (un)substituted alkyl or -(hetero)aryl; dashed line = optional bond] were prepared Thus, pyrazolopyridine II (R5 = R6 = H) was condensed with 2-ethoxymethyloxirane and the product cyclized to give II [R5R6 = CH(CH2OEt)CH2]. Data for biol. activity of I were given.
- Me N C1

(CA INDEX NAME)

- RN 268547-49-5 CAPLUS CN 1H-Pyrazolo[4,3-b]pyridine, 7-chloro-3-(2,4-dichlorophenyl)-5-methyl-(9CI) (CA INDEX NAME)
- Me N Cl

(ethoxymethyl)-7-hydroxy-5-methyl- (9CI) (CA INDEX NAME)

RN 374632-47-0 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridine, 7-chloro-1-(2-chloroethyl)-3-(2,4-dichlorophenyl)-5-methyl- (9CI) (CA INDEX NAME)

IT 374632-50-5P

RL: BYP (Byproduct); PREP (Preparation)
 (regioisomeric byproduct in the preparation of tricyclic heteroaroms. as CRF
 receptor antagonists)

RN 374632-50-5 CAPLUS

CN 2H-Pyrazolo[4,3-b]pyridine, 7-chloro-2-(2-chloroethyl)-3-(2,4-dichlorophenyl)-5-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Cl} & \text{CH}_2\text{--}\text{CH}_2\text{Cl} \\ \\ \text{Me} & \text{N} & \\ \end{array}$$

6

REFERENCE COUNT:

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:851160 CAPLUS

DOCUMENT NUMBER: 136:6001

TITLE: Synthesis of tricyclic (e.g., fused tricyclic

pyrimidines) as crf receptor antagonists

INVENTOR(S): Haddach, Mustapha; Dyck, Brian P.; Huang, Charles Q.;

Nelson, Jodie; Guo, Zhiqiang; McCarthy, James R.

PATENT ASSIGNEE(S): Neurocrine Biosciences, Inc., USA

SOURCE:

PCT Int. Appl., 147 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE: En FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PAT	ENT 1	NO.			KIND DATE				1	APPL	ICAT:	ION 1	NO.		D.	ATE	
WO	2001	0878	85		A1	_	2001	1122	1	WO 2	001-	US16	048		2	0010	517
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NO,	NZ,	PL,	PT,
		RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,
	UZ, VN, YU		YU,	ZA,	ZW,	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	TJ,	TM			
	RW: GH, GM, KE		KE,	LS,	MW,	ΜZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,	
		DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,
			•		•		GΑ,			•		•					•
US	6531	475			В1		2003	0311	1	US 2	000-	5747	51		2	0000	518
PRIORITY	APP:	LN.	INFO	. :					1	US 2	000-	5747	51	i	A 2	0000	518
									1	US 1	998-	1910'	73	1	B2 1	9981	112
									1	US 1	999-:	3708	37	]	B2 1	9990	809
								1	US 1	999-	4013	64	]	B2 1	99909	921	
									1	US 1	999-	4398	40	7	A2 1	9991:	112
OTHER SC		MARPAT 136:6001															

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Title compds. I [n = 1 - 2; A, Z = N, C, CH; B = N, CR3, with the proviso that at least one of A, B and Z = N; A, B and Z are not all nitrogen; and either A-B or B-Z is a double bond; X = N, C(H, alkyl, halo); Ar = (substituted)aryl, (substituted)heteroaryl; R = alkyl, alkylidenyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl; m = 0 - 3; R1 = alkyl, sulfonyl; R2 = H, (halo)alkyl, CN; R3 = H, (halo)alkyl) were prepared For instance, 2,4-dichloro-6-methyl-3-(vinylcarbonyl)pyridine (preparation given) was reacted with 4-heptylamine (EtOH, 60°C, 16 h) and regioisomer II isolated by chromatog. II was condensed with the 2,4-dichlorophenylhydrazone of benzaldehyde (TsOH, 140°C, 5 min) to give pyrazole III. Certain examples I had Ki < 1 µM for the CRF receptor. I have utility in the treatment of disorders manifesting hypersecretion of CRF, such as stroke, depression and anxiety.

IT 268547-48-4P 268547-49-5P 268547-50-8P 268547-55-3P 268547-68-8P 374800-50-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

GI

## 10/256,198

(intermediate; synthesis of tricyclic (e.g., fused tricyclic pyrimidines) as crf receptor antagonists)

RN 268547-48-4 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-ol, 3-(2,4-dichlorophenyl)-5-methyl- (9CI) (CA INDEX NAME)

RN 268547-49-5 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridine, 7-chloro-3-(2,4-dichlorophenyl)-5-methyl-(9CI) (CA INDEX NAME)

RN 268547-50-8 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, 3-(2,4-dichlorophenyl)-5-methyl-N-(1-propylbutyl)- (9CI) (CA INDEX NAME)

RN 268547-55-3 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, N-(1-butylpentyl)-3-(2,4-dichlorophenyl)-5-methyl- (9CI) (CA INDEX NAME)

268547-68-8 CAPLUS 1-Pentanol, 2-[[3-(2,4-dichlorophenyl)-5-methyl-1H-pyrazolo[4,3-b]pyridin-CN 7-yl]amino]- (9CI) (CA INDEX NAME)

374800-50-7 CAPLUS RN

Benzenemethanol,  $\alpha-[[[3-(2,4-dichlorophenyl)-5-methyl-1H-$ · CN pyrazolo[4,3-b]pyridin-7-yl]amino]methyl]- (9CI) (CA INDEX NAME)

4

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 11 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN L7

2001:247338 CAPLUS · ACCESSION NUMBER:

DOCUMENT NUMBER: 134:280854

Preparation of certain alkylene diamine-substituted TITLE:

heterocycles as NPY1 receptor inhibitors

Horvath, Raymond F.; Tran, Jennifer; De, Lombaert INVENTOR(S):

Stephane; Hodgetts, Kevin Julian; Carpino, Philip A.;

Griffith, David A.

PATENT ASSIGNEE(S): Neurogen Corporation, USA; Pfizer, Inc.; De Lombaert,

Stephane

PCT Int. Appl., 211 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA	TENT :		KIN		DATE		•			CAT:		NO.			DATE			
	2001	0233	89		A2									886		;	20000	929
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BE	3,.	BG,	BR,	BY,	BZ,	CA	, CH,	CN,
		CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EE,	ES	S, :	FI,	GB,	GD,	GE,	GH	, GM,	HR,
		HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KI	?,	KR,	KZ,	LC,	LK,	LR	, LS,	LT,
		LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MΣ	ζ, Ι	MZ,	NO,	NZ,	PL,	PT	, RO,	RU,
		SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TF	۱ ,۲	TT,	TZ,	UA,	ŪĠ,	US	, UZ,	VN,
		YU,	ZA,	ZW,	AM,	AZ,	BY,	KG,	KZ,	MI	o, :	RU,	ТJ,	TM				
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ	Ζ, '	ΤZ,	UG,	ZW,	AT,	BE	, CH,	CY,
		DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IJ	r, :	LU,	MC,	NL,	PT,	SE	, BF,	ВJ,
							GN,											
CA	2379	640			AA		2001	0405		CA	20	00-2	2379	640		:	20000	929
EP	1224	1224187			A2		2002	0724		ΕP	20	00-9	9671	33			20000	929
	R:	AT, BE, CH										ΙΤ,	LI,	LU,	NL,	SE	, MC,	PT,
	IE, SI, LT,																	
	6506						2003										20000	929
JP	2003	51032	27		Т2		0318		JΡ	20	01-	5265	41		:	20000		
	5175						2004										20000	
	1065						2003										20020	
	2002						2002	0527		ИО	20	02-1	1358			;	20020	319
	2002				A												20020	
	2003		97		<b>A</b> 1		2003			US	20	02-2	2914	46		;	20021	108
	6696				В2		2004											
	2004						2004										20031	
PRIORIT	Y APP	LN.	INFO	.:													19990	
																	20000	
														386			20000	
										US	20	02-2	2914	46	1	A3 :	20021	108
OTHER S	HER SOURCE(S):					MARPAT 13			54									

GI

<sup>\*</sup> STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

The title compds. [I-III, etc.; X = N, CR14; W = S, O, NR15; Y = N, CR3; AΒ E, F, G = CR3, N; R1 = H, alkyl, etc.; R2 = H, alkyl, cycloalkyl, etc.; A = (un)substituted (CH2)m (wherein m = 1-3); A and B form a (un)substituted

carbocycle; A and R2, or B and R2 form (un)substituted aminocarbocycle, aminoheterocycle; B = (un)substituted (CH2)n (n = 1-3); R3, R16 = H, alkyl, etc.; R4 = (un)substituted aryl, heteroaryl; R5 = (cycloalkyl)alkyl, alkenyl, etc.; R6 = H, alkyl, etc.] which are potent antagonists at the NPY1 receptor, and are useful in treating physiol. disorders associated with an excess of neuropeptide Y, including eating disorders, such as, for example, obesity and bulimia, and certain cardiovascular diseases, for example, hypertension, were prepared E.g., a multi-step synthesis of IV was described. The compds. I showed Ki of 0.1 nM - 10  $\mu$ M against NPY1 receptor binding.

IT 332141-79-4P 332141-80-7P 332141-81-8P 332141-83-0P 332141-89-6P 332141-90-9P 332141-91-0P 332141-92-1P 332141-97-6P 332141-98-7P 332141-99-8P 332142-00-4P 332142-05-9P 332142-06-0P 332142-07-1P 332142-08-2P 332890-54-7P 332890-58-1P 332890-63-8P 332890-67-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of certain alkylene diamine-substituted heterocycles as NPY1 receptor inhibitors)

RN 332141-79-4 CAPLUS

CN

1,2-Ethanediamine, N-cyclopentyl-N'-[2,5-dimethyl-3-(2,4,6-trimethylphenyl)-2H-pyrazolo[4,3-b]pyridin-7-yl]- (9CI) (CA INDEX NAME)

RN 332141-80-7 CAPLUS

CN 1,2-Ethanediamine, N-cyclohexyl-N'-[2,5-dimethyl-3-(2,4,6-trimethylphenyl)-2H-pyrazolo[4,3-b]pyridin-7-yl]- (9CI) (CA INDEX NAME)

RN 332141-81-8 CAPLUS
CN 1,2-Ethanediamine, N-[2-(3,4-dimethoxyphenyl)ethyl]-N'-[2,5-dimethyl-3-(2,4,6-trimethylphenyl)-2H-pyrazolo[4,3-b]pyridin-7-yl]- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 332141-83-0 CAPLUS

CN 1,2-Ethanediamine, N-[2,5-dimethyl-3-(2,4,6-trimethylphenyl)-2H-pyrazolo[4,3-b]pyridin-7-yl]-N'-[1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 332141-89-6 CAPLUS

CN 1,2-Ethanediamine, N-cyclopentyl-N'-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethyl-2H-pyrazolo[4,3-b]pyridin-7-yl]- (9CI) (CA INDEX NAME)

RN 332141-90-9 CAPLUS

CN 1,2-Ethanediamine, N-cyclohexyl-N'-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethyl-2H-pyrazolo[4,3-b]pyridin-7-yl]- (9CI) (CA INDEX NAME)

RN 332141-91-0 CAPLUS
CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethyl-2Hpyrazolo[4,3-b]pyridin-7-yl]-N'-[2-(3,4-dimethoxyphenyl)ethyl]- (9CI) (CA
INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 332141-92-1 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethyl-2H-pyrazolo[4,3-b]pyridin-7-yl]-N'-[1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 332141-97-6 CAPLUS

CN 1,2-Ethanediamine, N-cyclopentyl-N'-[1,5-dimethyl-3-(2,4,6-trimethylphenyl)-1H-pyrazolo[4,3-b]pyridin-7-yl]- (9CI) (CA INDEX NAME)

RN 332141-98-7 CAPLUS

CN 1,2-Ethanediamine, N-cyclohexyl-N'-[1,5-dimethyl-3-(2,4,6-trimethylphenyl)-1H-pyrazolo[4,3-b]pyridin-7-yl]- (9CI) (CA INDEX NAME)

RN 332141-99-8 CAPLUS

CN 1,2-Ethanediamine, N-[2-(3,4-dimethoxyphenyl)ethyl]-N'-[1,5-dimethyl-3-(2,4,6-trimethylphenyl)-1H-pyrazolo[4,3-b]pyridin-7-yl]- (9CI) (CA INDEXNAME)

PAGE 1-A

PAGE 2-A

RN 332142-00-4 CAPLUS

CN 1,2-Ethanediamine, N-[1,5-dimethyl-3-(2,4,6-trimethylphenyl)-1H-pyrazolo[4,3-b]pyridin-7-yl]-N'-[1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 332142-05-9 CAPLUS

CN 1,2-Ethanediamine, N-cyclopentyl-N'-[3-(2,6-dichloro-4-methoxyphenyl)-1,5-dimethyl-1H-pyrazolo[4,3-b]pyridin-7-yl]- (9CI) (CA INDEX NAME)

RN 332142-06-0 CAPLUS

CN 1,2-Ethanediamine, N-cyclohexyl-N'-[3-(2,6-dichloro-4-methoxyphenyl)-1,5-dimethyl-1H-pyrazolo[4,3-b]pyridin-7-yl]- (9CI) (CA INDEX NAME)

RN 332142-07-1 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-1,5-dimethyl-1H-pyrazolo[4,3-b]pyridin-7-yl]-N'-[2-(3,4-dimethoxyphenyl)ethyl]- (9CI) (CA INDEX NAME)

RN332142-08-2 CAPLUS

1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-1,5-dimethyl-1H-pyrazolo[4,3-b]pyridin-7-yl]-N'-[1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME) CN

PAGE 1-A

PAGE 2-A

RN 332890-54-7 CAPLUS

CN 1,2-Ethanediamine, N-[2,5-dimethyl-3-(2,4,6-trimethylphenyl)-2H-pyrazolo[4,3-b]pyridin-7-yl]-N'-(tetrahydro-2H-pyranyl)- (9CI) (CA INDEX NAME)

RN 332890-58-1 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethyl-2H-pyrazolo[4,3-b]pyridin-7-yl]-N'-(tetrahydro-2H-pyranyl)- (9CI) (CA INDEX NAME)

RN 332890-63-8 CAPLUS

CN 1,2-Ethanediamine, N-[1,5-dimethyl-3-(2,4,6-trimethylphenyl)-1H-pyrazolo[4,3-b]pyridin-7-yl]-N'-(tetrahydro-2H-pyranyl)- (9CI) (CA INDEX NAME)

RN 332890-67-2 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-1,5-dimethyl-1H-pyrazolo[4,3-b]pyridin-7-yl]-N'-(tetrahydro-2H-pyranyl)- (9CI) (CA INDEX NAME)

ANSWER 12 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2000:335410 CAPLUS

DOCUMENT NUMBER: 132:334475

TITLE: Preparation of tricyclic compounds as CRF receptor

antagonists

Haddach, Mustapha; Nelson, Jodie; Dyck, Brian P.; Guo, INVENTOR(S):

Zhiqiang; Huang, Charles Q.; Mccarthy, James R.

PATENT ASSIGNEE(S): Neurocrine Biosciences, Inc., USA

SOURCE:

PCT Int. Appl., 123 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent

English LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA'	PATENT NO.						KIND DATE			APPI	JICAT	ION 1	NO.		I	ATE	
WO	2000	0278	46		A2		200,0	0518		WO 1	999-						
WO	2000																
	W:						AZ,										
		CZ,	DE,	DK,	DM,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,
							KP,										
							MX,										
		SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VN,	YU,	ZA,	ZW,	AM,
							RU,										
	RW:																
							GR,							SE,	BF,	ВJ,	CF,
		CG,	CI,	CM,	GΑ,	GN,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG				
	2350				AA		2000 2001	0518		CA 1	.999-:	2350	642		1	.9991	112
	9915				Α		2001	0807		BR 1	.999-	1513	0		1	.9991	112
	1129				A2		2001	0905		EP 1	.999-	9603	63		1	.9991	112
EP	1129																
	R:	•	•	•	•	•	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
		•	•	•	LV,	•											
AT	2253	49			E		2002								-		
	7555				В2		2002				-000						
	5109	-			Α		2003				.998-				_	.9991	
	2180				Т3		2003				.999-						
	1129						2003			PT 1	999-	9603	63		1	.9991	
	2001									NO 2	001- 001-	2194			2	0010	503
	2001									ZA 2	001-	4441			2		
	нк 1038926						2003	0718			002-					0020	
PRIORIT	RIORITY APPLN. INFO.:										998-					9981	
								•			.999-					.9990	
											.999-			_		.9990	
											.999-1	US27	054	Ţ	W 1	9991	112
OTHER SO	THER SOURCE(S):						MARPAT 132:33										

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AB The title compds. [I; n = 1-2; A, C = N, C, CH; B = N, CR3; with the provisos that at least one of A, B and C = N; A, B and C are not all N; and either A-B or B-C is a double bond; X = N, CH; Ar = (un)substituted aryl, heteroaryl; R = alkyl, alkylidenyl, arylalkyl, heteroarylalkyl; m = 0-3; R1 = C(H)0,1R4R5, SO2R5; R2 = H, alkyl; R3 = H, alkyl, haloalkyl; R4 = H, alkyl, halo, etc.; R5 = -YZR6; (un)substituted alkanediyl, a direct bond; Z = NH, O, S, etc.; R6 = H, alkyl, aryl, etc.] which have utility in the treatment of a variety of disorders, including the treatment of disorders manifesting hypersecretion of CRF in a warm-blooded animals, such as stroke, depression, and anxiety, were prepared E.g., a multi-step synthesis of II which showed Ki of < 250 nM against CRF receptor binding, was given.

IT 268547-48-4P 268547-49-5P 268547-50-8P 268547-55-3P 268547-68-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of tricyclic compds. as CRF receptor antagonists)

RN 268547-48-4 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-ol, 3-(2,4-dichlorophenyl)-5-methyl- (9CI) (CA INDEX NAME)

RN 268547-49-5 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridine, 7-chloro-3-(2,4-dichlorophenyl)-5-methyl-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & H & C1 \\ \hline Me & N & C1 \\ \hline \end{array}$$

RN 268547-50-8 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, 3-(2,4-dichlorophenyl)-5-methyl-N-(1-propylbutyl)- (9CI) (CA INDEX NAME)

RN 268547-55-3 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, N-(1-butylpentyl)-3-(2,4-dichlorophenyl)-5-methyl- (9CI) (CA INDEX NAME)

RN 268547-68-8 CAPLUS

CN 1-Pentanol, 2-[[3-(2,4-dichlorophenyl)-5-methyl-1H-pyrazolo[4,3-b]pyridin-7-yl]amino]- (9CI) (CA INDEX NAME)

L7 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1999:576926 CAPLUS

DOCUMENT NUMBER: 131:199695

TITLE: Preparation of pyrazolo[4,3-b]pyridines as

corticotropin releasing factor receptor antagonists.

INVENTOR(S): Chen, Chen; Wilcoxen, Keith M.; Huang, Charles Q.;

Haddach, Mustapha; McCarthy, James R.

PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.; Neurocrine

Biosciences, Inc.

SOURCE: PCT Int. Appl., 41 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE: Entranguage: Entranguage: Entranguage: 1

PATENT INFORMATION:

PAT	ENT 1	NO.		KIND DATE					APPI	ICAT	ION 1	NO.		D	ATE			
WO S	9945	007			A1	_	1999	0910		WO 1	 .999-	EP13	 07		1	9990:	226	
	W:	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,	DE,	
		DK,	EE,	ES,	FI,	GB,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	
		KG;	KP,	KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	MN,	MW,	
		MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	
		TT,	UA,	UG,	US,	UZ,	VN,	YU,	ZW,	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	TJ,	TM
	RW:	GH,	GM,	KE,	LS,	MW,	SD,	SL,	SZ,	UG,	ZW,	ΑT,	ΒE,	CH,	CY,	DE,	DK,	
		ES, FI, FR, GB, GR, IE, IT					IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,		
		CI,	CM,	GA,	GN,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG						
AU S	9929	310			A1 19990920					AU 1	.999-	2931	0		1	9990:	226	
ZA S	9901	767		,	Α		2000	1011		ZA 1	.999-	1767			1	9990	304	
US (							2003	0902		US 2	001-	6236	34		2	0010	220	
US 2	US 2004121999						2004	0624		US 2	2003-	6504	74		2	0030	828	
PRIORITY	PRIORITY APPLN. INFO.:									US 1	.998-	7731	1P		P 1	9980	306	
													07	1	₩ 1	9990	226	
									US 2	001-	6236	34		A1 2	0010	220		
OTHER SO		MAR	PAT	131:	19969	95												

$$R^4$$
  $N$   $R^2$   $R^3$ 

Ι

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Use of title compds. [I; R1 = alkyl, NR5R6, OR6, SR6; R2 = alkyl, alkoxy, alkylthio; R3 = Ar1, Het1; R4 = H, alkyl; R5 = H, alkyl, mono- or di(cycloalkyl)methyl, cycloalkyl, alkenyl, hydroxyalkyl, alkylcarbonyloxyalkyl, mono- or di(alkyl)aminoalkyl, alkoxyalkyl; R6 = alkyl, mono- or di(cycloalkyl)methyl, Ar2alkyl, Ar2oxyalkyl, alkoxyalkyl, hydroxyalkyl, alkenyl, thienylmethyl, furanylmethyl, tetrahydrofuranylmethyl, alkylthioalkyl, mono- or di(alkyl)aminoalkyl, di(alkyl)amino, alkylcarbonylalkyl; R5R6N = pyrrolidinyl, piperidinyl,

RN

CN

homopiperidinyl, morpholinyl, thiomorpholinyl; Ar1, Ar2 = (substituted) Ph, naphthyl; Het1 = (substituted) pyridinyl], for treatment of conditions arising from hypersecretion of corticotropin releasing factor is claimed. I (synthetic schemes given) showed CRF receptor binding ability with Ki ≤250 nM.

ΙT 242128-80-9P 242128-81-0P 242128-82-1P 242128-83-2P 242128-84-3P 242128-85-4P 242128-86-5P 242128-87-6P 242128-88-7P 242128-89-8P 242128-90-1P 242128-91-2P 242128-92-3P 242128-93-4P 242128-94-5P 242128-95-6P 242128-96-7P 242128-97-8P 242128-98-9P 242128-99-0P 242129-00-6P 242129-01-7P 242129-02-8P 242129-03-9P 242129-04-0P 242129-05-1P 242129-06-2P 242129-07-3P 242129-08-4P 242129-09-5P 242129-10-8P 242129-11-9P 242129-12-0P 242129-13-1P 242129-14-2P 242129-15-3P 242129-16-4P 242129-17-5P 242129-18-6P 242129-19-7P 242129-20-0P 242129-21-1P 242129-22-2P 242129-23-3P 242129-24-4P 242129-25-5P 242129-26-6P 242129-27-7P 242129-28-8P 242129-29-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazolo[4,3-b]pyridines as CRF receptor antagonists) 242128-80-9 CAPLUS

2H-Pyrazolo[4,3-b]pyridin-7-amine, 3-(2,4-dichlorophenyl)-2,5-dimethyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

RN 242128-81-0 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, N-butyl-3-(2,4-dichlorophenyl)-1,5-dimethyl- (9CI) (CA INDEX NAME)

RN 242128-82-1 CAPLUS

## 10/256,198

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, 3-(2,4-dichlorophenyl)-1,5-dimethyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

RN 242128-83-2 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, 3-(2,4-dichlorophenyl)-N,N-bis(2-methoxyethyl)-1,5-dimethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{CH}_2-\text{CH}_2-\text{OMe} \\ \text{MeO-CH}_2-\text{CH}_2-\text{N} \\ \text{Me} \\ \text{N} \end{array}$$

RN 242128-84-3 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, 3-(2,4-dichlorophenyl)-N-(2-methoxyethyl)-N,1,5-trimethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Me \\ MeO-CH_2-CH_2-N \\ Me \\ N \\ \end{array}$$

RN 242128-85-4 CAPLUS

CN Ethanol, 2-[[3-(2,4-dichlorophenyl)-1,5-dimethyl-1H-pyrazolo[4,3-b]pyridin-7-yl]propylamino]- (9CI) (CA INDEX NAME)

RN 242128-86-5 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, 3-(2,4-dichlorophenyl)-N,1,5-trimethyl-N-propyl-(9CI) (CA INDEX NAME)

RN 242128-87-6 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, N,N-dibutyl-3-(2,4-dichlorophenyl)-5-methyl- (9CI) (CA INDEX NAME)

RN 242128-88-7 CAPLUS

CN 2H-Pyrazolo[4,3-b]pyridin-7-amine, N,N-dibutyl-3-(2,4-dichlorophenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 242128-89-8 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, N-butyl-3-(2,4-dichlorophenyl)-N-ethyl-1,5-dimethyl-(9CI) (CA INDEX NAME)

RN 242128-90-1 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, N-butyl-3-(2,4-dichlorophenyl)-1,5-dimethyl-N-propyl- (9CI) (CA INDEX NAME)

RN 242128-91-2 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, N,N-dibutyl-3-(2,4-dichlorophenyl)-1-ethyl-5-methyl- (9CI) (CA INDEX NAME)

RN 242128-92-3 CAPLUS

CN 2H-Pyrazolo[4,3-b]pyridin-7-amine, N,N-dibutyl-3-(2,4-dichlorophenyl)-2-ethyl-5-methyl- (9CI) (CA INDEX NAME)

RN 242128-93-4 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, N,N-dibutyl-3-(2,4-dichlorophenyl)-5-methyl-1-propyl- (9CI) (CA INDEX NAME)

RN 242128-94-5 CAPLUS

CN 2H-Pyrazolo[4,3-b]pyridin-7-amine, N,N-dibutyl-3-(2,4-dichlorophenyl)-5-methyl-2-propyl- (9CI) (CA INDEX NAME)

#### 10/256,198

RN 242128-95-6 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, N,N-dibutyl-3-(2,4-dichlorophenyl)-5-methyl-1-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 242128-96-7 CAPLUS

CN 2H-Pyrazolo[4,3-b]pyridin-7-amine, N,N-dibutyl-3-(2,4-dichlorophenyl)-5-methyl-2-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 242128-97-8 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, N-(cyclopropylmethyl)-3-(2,4-dichlorophenyl)-5-methyl-N-propyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ N-\text{Pr-n.} & & \\ & & & \\ & & & \\ Me & & & \\ N & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\$$

RN 242128-98-9 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, N-(cyclopropylmethyl)-3-(2,4-dichlorophenyl)-1,5-dimethyl-N-propyl- (9CI) (CA INDEX NAME)

RN 242128-99-0 CAPLUS

CN 2H-Pyrazolo[4,3-b]pyridin-7-amine, N-(cyclopropylmethyl)-3-(2,4-dichlorophenyl)-2,5-dimethyl-N-propyl- (9CI) (CA INDEX NAME)

RN 242129-00-6 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, N-(cyclopropylmethyl)-3-(2,4-dichlorophenyl)-1-ethyl-5-methyl-N-propyl- (9CI) (CA INDEX NAME)

RN 242129-01-7 CAPLUS

CN 2H-Pyrazolo[4,3-b]pyridin-7-amine, N-(cyclopropylmethyl)-3-(2,4-

dichlorophenyl)-2-ethyl-5-methyl-N-propyl- (9CI) (CA INDEX NAME)

RN 242129-02-8 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, N-butyl-3-(2,4-dichlorophenyl)-N-ethyl-5-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Et} & \\ N - Bu - n & \\ N & N \\ N & \\ N & \\ C1 & \\ \end{array}$$

RN 242129-03-9 CAPLUS

CN 2H-Pyrazolo[4,3-b]pyridin-7-amine, N-butyl-3-(2,4-dichlorophenyl)-N-ethyl-2,5-dimethyl-(9CI) (CA INDEX NAME)

RN 242129-04-0 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, N-butyl-3-(2,4-dichlorophenyl)-N,1-diethyl-5-methyl- (9CI) (CA INDEX NAME)

RN 242129-05-1 CAPLUS

CN 2H-Pyrazolo[4,3-b]pyridin-7-amine, N-butyl-3-(2,4-dichlorophenyl)-N,2-diethyl-5-methyl- (9CI) (CA INDEX NAME)

RN 242129-06-2 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, N,N-dibutyl-3-(2-chloro-4-methylphenyl)-1,5-dimethyl- (9CI) (CA INDEX NAME)

RN 242129-07-3 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, N-butyl-3-(2-chloro-4-methylphenyl)-1,5-dimethyl-N-propyl- (9CI) (CA INDEX NAME)

RN 242129-08-4 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, N-butyl-3-(2-chloro-4-methylphenyl)-N-ethyl-1,5-dimethyl- (9CI) (CA INDEX NAME)

RN 242129-09-5 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, N,N-dibutyl-3-(2-chloro-4-methylphenyl)-5-methyl- (9CI) (CA INDEX NAME)

RN 242129-10-8 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, 3-(2-chloro-4-methylphenyl)-N-(cyclopropylmethyl)-5-methyl-N-propyl- (9CI) (CA INDEX NAME)

RN 242129-11-9 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, N,N-dibutyl-3-(2-chloro-4-methylphenyl)-1-ethyl-5-methyl- (9CI) (CA INDEX NAME)

RN 242129-12-0 CAPLUS

CN 2H-Pyrazolo[4,3-b]pyridin-7-amine, N,N-dibutyl-3-(2-chloro-4-methylphenyl)-2-ethyl-5-methyl- (9CI) (CA INDEX NAME)

RN 242129-13-1 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, 3-(2-chloro-4-methylphenyl)-N-(cyclopropylmethyl)-1-ethyl-5-methyl-N-propyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 242129-14-2 CAPLUS

CN 2H-Pyrazolo[4,3-b]pyridin-7-amine, 3-(2-chloro-4-methylphenyl)-N-(cyclopropylmethyl)-2-ethyl-5-methyl-N-propyl- (9CI) (CA INDEX NAME)

RN 242129-15-3 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, 3-(2-chloro-4-methylphenyl)-N-ethyl-N-(3-methoxypropyl)-1,5-dimethyl- (9CI) (CA INDEX NAME)

MeO- (CH<sub>2</sub>) 
$$3-N$$
 Me N N N Me

RN 242129-16-4 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, 3-(2-chloro-4-methylphenyl)-1,5-dimethyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

RN 242129-17-5 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, 3-(2-chloro-4-methylphenyl)-N-ethyl-1,5-dimethyl-N-propyl- (9CI) (CA INDEX NAME)

RN 242129-18-6 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, 3-(2-chloro-4-methylphenyl)-1,5-dimethyl-N-(1-methylpropyl)-N-propyl- (9CI) (CA INDEX NAME)

RN 242129-19-7 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, 3-(2-chloro-4-methylphenyl)-N-(cyclopropylmethyl)-1,5-dimethyl-N-propyl-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 242129-20-0 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, N,N-dibutyl-3-(2-chloro-4,6-dimethylphenyl)-1,5-dimethyl- (9CI) (CA INDEX NAME)

RN 242129-21-1 CAPLUS

CN 2H-Pyrazolo[4,3-b]pyridin-7-amine, N,N-dibutyl-3-(2-chloro-4,6-dimethylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 242129-22-2 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, N-butyl-3-(2-chloro-4,6-dimethylphenyl)-N-ethyl-1,5-dimethyl- (9CI) (CA INDEX NAME)

RN 242129-23-3 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, N-butyl-3-(2-chloro-4,6-dimethylphenyl)-N,1-diethyl-5-methyl- (9CI) (CA INDEX NAME)

RN 242129-24-4 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, N-butyl-3-(2-chloro-4,6-dimethylphenyl)-N-(cyclopropylmethyl)-1,5-dimethyl- (9CI) (CA INDEX NAME)

RN 242129-25-5 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, 3-(2-chloro-4,6-dimethylphenyl)-N,N-bis(2-methoxyethyl)-1,5-dimethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{CH}_2\text{--}\text{CH}_2\text{--}\text{OMe} \\ \text{MeO---}\text{CH}_2\text{---}\text{CH}_2\text{---}\text{N} \\ \text{Me} \\ \text{Me$$

RN 242129-26-6 CAPLUS

CN 2H-Pyrazolo[4,3-b]pyridin-7-amine, 3-(2-chlorophenyl)-2,5-dimethyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

RN 242129-27-7 CAPLUS

CN 2H-Pyrazolo[4,3-b]pyridin-7-amine, 2,5-dimethyl-3-phenyl-N,N-dipropyl-(9CI) (CA INDEX NAME)

RN 242129-28-8 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, 3-[2,4-bis(trifluoromethyl)phenyl]-1,5-dimethyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

RN 242129-29-9 CAPLUS

CN 2H-Pyrazolo[4,3-b]pyridin-7-amine, N,N-dibutyl-2,5-dimethyl-3-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1988:112444 CAPLUS

DOCUMENT NUMBER: 108:112444

TITLE: Preparation of pyrazolo[4,3-b]pyridinamines as

antiinflammatories

INVENTOR(S): Markwell, Roger Edward; Ward, Robert William; De

Mello, Carol Rachel Beecham Group PLC, UK

SOURCE: Eur. Pat. Appl., 42 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT ASSIGNEE(S):

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
EP 239191 EP 239191	A2 A3	19870930 19880720	EP 1987-300631	-	19870126
R: BE, CH, DE,	ES, FR		IT, LI, LU, NL, SE		
DK 8700462	A	19870731	DK 1987-462		19870128
AU 8768057	A1	19870806	AU 1987-68057		19870128
ZA 8700619	Α	19880224	ZA 1987-619		19870128
US 4833136	Α	19890523	US 1987-8267		19870129
JP 62240682	A2	19871021	JP 1987-18793		19870130
PRIORITY APPLN. INFO.:			GB 1986-2236	Α	19860130
			GB 1986-8918	Α	19860411

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$$R^{1}$$
 $R^{2}$ 
 $R^{2}$ 
 $R^{3}$ 
 $R^{4}$ 
 $R^{6}$ 
 $R^{6$ 

AB The title compds. [I; R = H, Cl-6 alkyl; Rl = H, alkanoyl, cyano, (un)modified CO2H, (un)substituted alkyl; R2 = Rl, (un)substituted Ph; R1R2 = (alkyl-substituted) (CH2)3-6; R3 = H, alkanoyl, C2-10 alkenyl, (un)modified CO2H, (un)substituted Cl-10 alkyl, C3-10 cycloalkyl, Ph; RR3 = (CH[2)4-6; R4 = H, Cl-4 alkyl, (un)substituted Ph, PhCH2; R5 = Cl-6 alkyl, amino, halo, NO2, thienyl, furyl, (l-alkyl)pyrrolyl, (un)substituted Ph, PhCH2] and their salts were prepared as antiinflammatories, especially useful for topical application.

3-Methylpyrazole

was nitrated after protection by arylation with 2,4-(O2N)C6H3F, and the resulting 3-methyl-4-nitropyrazole was converted in 3 steps to chlorodimethylpyrazolopyridine II (R6 = Cl). The latter was refluxed with HOCH2CH2NH2 in xylene to give II (R6 = HOCH2CH2NH) (III). In the topical mouse ear assay, 500  $\mu g$  III applied to the ear gave 86% inhibition of cantharidin-induced inflammation.

IT 113140-16-2P 113140-23-1P 113140-25-3P 113140-26-4P 113140-28-6P 113140-29-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(preparation and aminolysis of)

RN 113140-16-2 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridine, 7-chloro-5-methyl-3-phenyl- (9CI) (CA INDEX NAME)

RN 113140-23-1 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridine, 7-chloro-3-(4-chlorophenyl)-5-methyl- (9CI) (CA INDEX NAME)

RN 113140-25-3 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridine, 7-chloro-3-(3-methoxyphenyl)-5-methyl- (9CI) (CA INDEX NAME)

RN 113140-26-4 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridine, 7-chloro-3-(4-methoxyphenyl)-5-methyl- (9CI) (CA INDEX NAME)

RN 113140-28-6 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridine, 7-chloro-5-methyl-3-(2-thienyl)- (9CI) (CA INDEX NAME)

RN 113140-29-7 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridine, 7-chloro-5-methyl-3-(3-thienyl)- (9CI) (CA INDEX NAME)

IT 113140-24-2P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 113140-24-2 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridine, 7-chloro-3-(2-methoxyphenyl)-5-methyl- (9CI) (CA INDEX NAME)

#### IT 113139-96-1P 113139-98-3P 113139-99-4P

113140-00-4P 113140-01-5P 113140-05-9P

113140-07-1P 113165-08-5P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as topical antiinflammatory)

RN 113139-96-1 CAPLUS

CN Ethanol, 2-[(5-methyl-3-phenyl-1H-pyrazolo[4,3-b]pyridin-7-yl)amino]-(9CI) (CA INDEX NAME)

 $\begin{array}{c|c} \text{HO-CH}_2\text{-CH}_2\text{-NH} & \text{H} \\ & \text{N} & \text{N} \\ & \text{N} & \text{Ph} \end{array}$ 

RN 113139-98-3 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, 5-methyl-3-phenyl-N-2-propenyl- (9CI) (CA INDEX NAME)

H<sub>2</sub>C=CH-CH<sub>2</sub>-NH

Me

N

Ph

RN 113139-99-4 CAPLUS

CN Ethanol, 2-[[3-(4-chlorophenyl)-5-methyl-1H-pyrazolo[4,3-b]pyridin-7-yl]amino]- (9CI) (CA INDEX NAME)

RN 113140-00-4 CAPLUS

CN 1H-Pyrazolo[4,3-b]pyridin-7-amine, 5-methyl-N-(2-methylpropyl)-3-phenyl-(9CI) (CA INDEX NAME)

RN 113140-01-5 CAPLUS

CN Ethanol, 2-[[3-(3-methoxyphenyl)-5-methyl-1H-pyrazolo[4,3-b]pyridin-7-yl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{HO-CH}_2\text{-CH}_2\text{-NH} & \text{H} \\ & \text{N} & \text{N} \\ & \text{OMe} \end{array}$$

RN ·113140-05-9 CAPLUS

CN Ethanol, 2-[[5-methyl-3-(2-thienyl)-1H-pyrazolo[4,3-b]pyridin-7-yl]amino]-(9CI) (CA INDEX NAME)

RN 113140-07-1 CAPLUS

CN Ethanol, 2-[[3-(4-methoxyphenyl)-5-methyl-1H-pyrazolo[4,3-b]pyridin-7-yl]amino]- (9CI) (CA INDEX NAME)

RN 113165-08-5 CAPLUS

CN Ethanol, 2-[[5-methyl-3-(3-thienyl)-1H-pyrazolo[4,3-b]pyridin-7-yl]amino]-(9CI) (CA INDEX NAME)

```
C:\Program Files\Stnexp\Queries\10650474.str
chain nodes :
   12
ring nodes :
```

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS 11:CLASS

```
ring nodes:
    1 2 3 4 5 6 7 8 9

ring/chain nodes:
    10 11

chain bonds:
    4-10 6-11 7-12

ring bonds:
    1-2 1-6 2-3 2-7 3-4 3-9 4-5 5-6 7-8 8-9

exact/norm bonds:
    1-2 1-6 2-3 2-7 3-4 3-9 4-5 4-10 5-6 6-11 7-8 7-12 8-9

Match level:
```

12:Atom

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